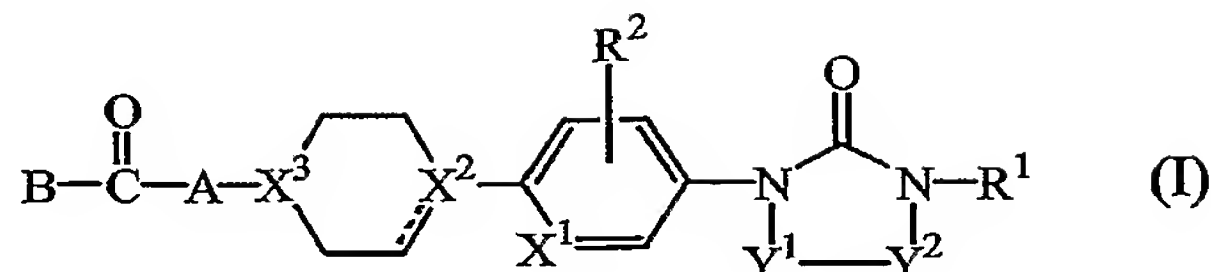


Claims

1. A compound of formula (I)



5

the *N*-oxides, the pharmaceutically acceptable acid addition salts and the stereochemically isomeric forms thereof, wherein

the dotted line is an optional bond and is absent when X² represents nitrogen;

the radical -Y¹-Y²- is a radical of formula

- 10 -N=CH- (a-1),
 -CH=N- (a-2),
 -CH₂-CH₂- (a-3),
 -CH=CH- (a-4),

15 wherein in the bivalent radicals of formula (a-1) or (a-2) the hydrogen atom may optionally be replaced by C₁₋₆alkyl or phenyl; or in the bivalent radicals of formula (a-3) or (a-4) one or two hydrogen atoms may optionally be replaced by C₁₋₆alkyl or phenyl;

X¹ is carbon or nitrogen;

20 at least one of X² or X³ represents nitrogen and the other X² or X³ represents CH or carbon when the dotted line represents a bond, or both X² and X³ represent nitrogen;

R¹ is C₁₋₆alkyl;

aryl¹;

C₁₋₆alkyl substituted with hydroxy, C₃₋₆cycloalkyl, aryl¹ or naphthalenyl;

C₃₋₆cycloalkyl;

25 C₃₋₆cycloalkenyl;

C₃₋₆alkenyl;

C₃₋₆alkenyl substituted with aryl¹;

C₃₋₆alkynyl;

C₃₋₆alkynyl substituted with aryl¹;

30 C₁₋₄alkyloxyC₁₋₄alkanediyl optionally substituted with aryl¹;

or when -Y¹-Y²- is a radical of formula (a-1) than R¹ may be taken together with Y² to form a radical of formula -CH=CH-CH=CH- wherein each hydrogen may optionally be replaced by a substituent independently selected from C₁₋₄alkyl,

C₁₋₄alkyloxy, polyhaloC₁₋₄alkyl, halo, cyano, trifluoromethyl or aryl¹;

35 wherein aryl¹ is phenyl; or phenyl substituted with from one or five substituents

each independently selected from C₁₋₄alkyl, C₁₋₄alkyloxy, polyhaloC₁₋₄alkyl, halo, cyano, or trifluoromethyl;

R² is hydrogen, C₁₋₄alkyl, or halo;

A is C₁₋₆alkanediyl;

5 C₁₋₆alkanediyl substituted with one or two groups selected from aryl², heteroaryl¹ and C₃₋₈cycloalkyl;
or provided X³ represents CH said radical A may also represent NH optionally substituted with aryl², heteroaryl¹ or C₃₋₈cycloalkyl;

10 wherein aryl² is phenyl; or phenyl substituted with from one to five substituents each independently selected from C₁₋₄alkyl, C₁₋₄alkyloxy, halo, cyano or trifluoromethyl;

heteroaryl¹ is furanyl, thienyl, pyridinyl, pyrazinyl, pyrimidinyl, or pyridazinyl; and said heteroaryl¹ is optionally substituted with one or two substituents each independently selected from C₁₋₄alkyl,

15 C₁₋₄alkyloxy, halo, cyano or trifluoromethyl;

B is N³R⁴, or

OR⁹;

wherein each R³ and R⁴ are independently selected from

20 hydrogen,
C₁₋₈alkyl,
C₁₋₈alkyl substituted with one, two or three substituents each independently from one another selected from hydroxy, halo, cyano, C₁₋₄alkyloxy, C₁₋₄alkyloxycarbonyl, C₃₋₈cycloalkyl, polyhaloC₁₋₄alkyl, NR⁵R⁶, CONR⁷R⁸, aryl³, polycyclic aryl, or
25 heteroaryl²;

C₃₋₈cycloalkyl;

C₃₋₈cycloalkenyl;

C₃₋₈alkenyl;

C₃₋₈alkynyl;

30 aryl³;

polycyclic aryl;

heteroaryl²; or

R³ and R⁴ combined with the nitrogen atom bearing R³ and R⁴ may form an azetidiny, pyrrolidinyl, piperidinyl, morpholinyl, azepanyl, or

35 azocanyl ring wherein each of these rings may optionally be substituted by C₁₋₄alkyloxycarbonyl, C₁₋₄alkyloxycarbonylC₁₋₄alkyl, carbonylamino, C₁₋₄alkylcarbonylamino, CONR⁷R⁸ or

C₁₋₄alkylCONR⁷R⁸;

wherein

R⁵ is hydrogen, C₁₋₄alkyl, aryl³, polycyclic aryl, or heteroaryl²;

R⁶ is hydrogen or C₁₋₄alkyl;

5 R⁷ is hydrogen, C₁₋₄alkyl or phenyl;

R⁸ is hydrogen, C₁₋₄alkyl or phenyl; or

10 R⁹ is C₁₋₆alkyl, or C₁₋₆alkyl substituted with one, two or three substituents each independently from one another selected from hydroxy, halo, cyano, C₁₋₄alkyloxy, C₁₋₄alkyloxycarbonyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkenyl, trifluoromethyl, NR⁵R⁶, CONR⁷R⁸, aryl³, polycyclic aryl, or heteroaryl²;

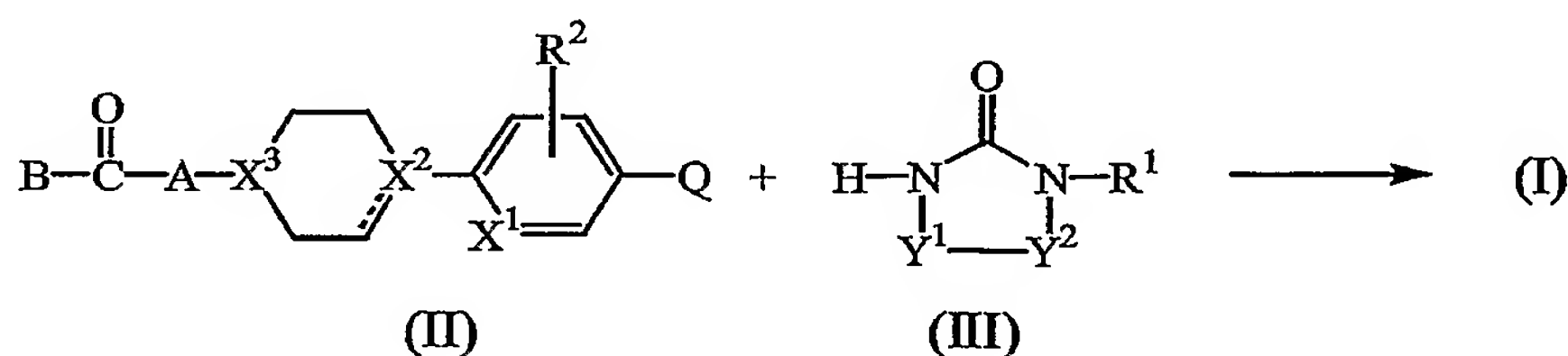
wherein

15 aryl³ is phenyl; phenyl substituted with one to five substituents each independently selected from C₁₋₄alkyl, C₁₋₄alkyloxy, halo, hydroxy, trifluoromethyl, cyano, C₁₋₄alkyloxycarbonyl, C₁₋₄alkyloxycarbonylC₁₋₄alkyl, methylsulfonylamino, methylsulfonyl, NR⁵R⁶, C₁₋₄alkylNR⁵R⁶, CONR⁷R⁸ or C₁₋₄alkylCONR⁷R⁸;

20 polycyclic aryl is naphthalenyl, indanyl, fluorenyl, or 1,2,3,4-tetrahydronaphthalenyl, and said polycyclic aryl is optionally substituted with one or two substituents each independently selected from C₁₋₆alkyl, C₁₋₆alkyloxy, phenyl, halo, cyano, C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkyloxycarbonylC₁₋₄alkyl, NR⁵R⁶, C₁₋₄alkylNR⁵R⁶, 25 CONR⁷R⁸, C₁₋₄alkylCONR⁷R⁸ or C₁₋₄alkyloxycarbonylamino and

30 heteroaryl² is pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, triazolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, pyrrolyl, furanyl, thienyl; quinolinyl; isoquinolinyl; 1,2,3,4-tetrahydro-isoquinolinyl; benzothiazolyl; benzo[1,3]dioxolyl; 2,3-dihydro-benzo[1,4]dioxinyl; indolyl; 2,3-dihydro-1H-indolyl; 1H-benzoimidazolyl; and said heteroaryl² is optionally substituted with one or two substituents each independently selected from C₁₋₆alkyl, C₁₋₆alkyloxy, phenyl, halo, cyano, 35 C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkyloxycarbonylC₁₋₄alkyl, NR⁵R⁶, C₁₋₄alkylNR⁵R⁶, CONR⁷R⁸ or C₁₋₄alkylCONR⁷R⁸.

2. A compound as claimed in claim 1 wherein X^2 represents nitrogen and X^3 represents CH.
- 5 3. A compound as claimed in claim 1 wherein X^2 represents CH and X^3 represents nitrogen.
4. A compound as claimed in claim 1 wherein both X^2 and X^3 represent nitrogen.
- 10 5. A compound as claimed in any of claims 1 to 4 wherein radical A represents C_{1-6} alkanediyl substituted with aryl².
6. A compound as claimed in any of claims 1 to 4 wherein radical B represents OR^9 wherein R^9 is C_{1-6} alkyl or NR^3R^4 wherein R^3 is hydrogen.
- 15 7. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically active amount of a compound as claimed in any of claims 1 to 6.
8. A process for preparing a pharmaceutical composition as claimed in claim 7 wherein a therapeutically active amount of a compound as claimed in any of claims 1 to 6 is intimately mixed with a pharmaceutically acceptable carrier.
- 20 9. A compound as claimed in any of claims 1 to 6 for use as a medicine.
- 25 10. A process for preparing a compound of formula (I) wherein
- a) an intermediate of formula (II), wherein Y^1 , Y^2 and R^1 are defined as in claim 1, is reacted with an intermediate of formula (III), wherein X^1 , X^2 , X^3 , R^2 , A, and B are as defined in claim 1 and Q is selected from bromo, iodo and trifluoromethylsulfonate, in a reaction-inert solvent and optionally in the presence of at least one transition metal coupling reagent and/or at least one suitable catalyst such as palladium associated with triphenylphosphine, or triphenylarsine; or
- 30 or



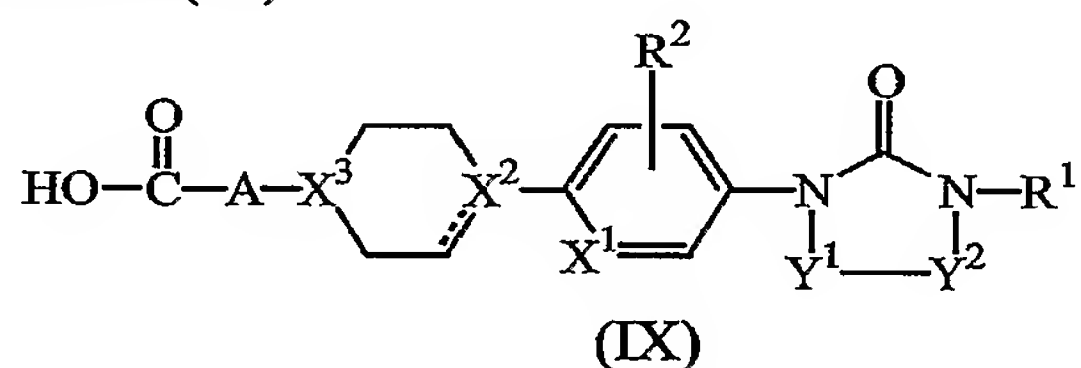
35

- b) or, compounds of formula (I) are converted into each other following art-known

transformation reactions; or if desired; a compound of formula (I) is converted into a pharmaceutically acceptable acid addition salt, or conversely, an acid addition salt of a compound of formula (I) is converted into a free base form with alkali; and, if desired, preparing stereochemically isomeric forms thereof.

5

11. A compound of formula (IX)



the *N*-oxides, the pharmaceutically acceptable acid addition salts and the stereochemically isomeric forms thereof, wherein R^1 , R^2 , X^1 , X^2 , X^3 , Y^1 , Y^2 and A are as defined in claim 1.

10